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      2 NOV 21
                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
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         NOV 26
NEWS
                 MARPAT enhanced with FSORT command
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NEWS
                 CHEMSAFE now available on STN Easy
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NEWS
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NEWS
      6
NEWS
         DEC 12
                 GBFULL now offers single source for full-text
                 coverage of complete UK patent families
      8
         DEC 17
                 Fifty-one pharmaceutical ingredients added to PS
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NEWS
         JAN 06
                 The retention policy for unread STNmail messages
                 will change in 2009 for STN-Columbus and STN-Tokyo
                 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
NEWS 10
         JAN 07
                 Classification Data
NEWS 11 FEB 02
                 Simultaneous left and right truncation (SLART) added
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11
                 WTEXTILES reloaded and enhanced
NEWS 16 FEB 19
                 New patent-examiner citations in 300,000 CA/CAplus
                 patent records provide insights into related prior
                 art
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         FEB 19
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                 discontinued in USPATFULL and USPAT2
                 MEDLINE now offers more precise author group fields
NEWS 19
         FEB 23
                 and 2009 MeSH terms
NEWS 20
                 TOXCENTER updates mirror those of MEDLINE - more
         FEB 23
                 precise author group fields and 2009 MeSH terms
NEWS 21
         FEB 23
                 Three million new patent records blast AEROSPACE into
                 STN patent clusters
NEWS 22
         FEB 25
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NEWS 23
                 INPADOCDB and INPAFAMDB enhanced with new display
         MAR 06
                 formats
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         MAR 11
                 EPFULL backfile enhanced with additional full-text
                 applications and grants
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NEWS 26 MAR 20 CAS databases on STN enhanced with new super role for nanomaterial substances

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SINCE FILE TOTAL
ENTRY SESSION
0.22 0.22

FULL ESTIMATED COST

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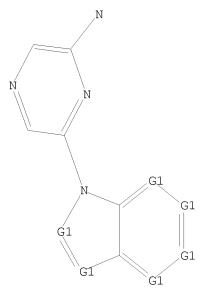
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=>

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```
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
ring/chain nodes :
chain bonds :
9-10 14-18
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 2-7 \quad 3-4 \quad 3-9 \quad 4-5 \quad 5-6 \quad 7-8 \quad 8-9 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14
14 - 15
exact/norm bonds :
2-7 3-9 7-8 8-9 9-10
exact bonds :
14-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :
G1:C,N
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom
L1
       STRUCTURE UPLOADED
=> d
L1 HAS NO ANSWERS
L1
                STR
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G1 C, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 14:05:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 183 TO ITERATE

100.0% PROCESSED 183 ITERATIONS 19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2849 TO 4471
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> s 11 ful

FULL SEARCH INITIATED 14:05:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3270 TO ITERATE

100.0% PROCESSED 3270 ITERATIONS 405 ANSWERS

SEARCH TIME: 00.00.01

L3 405 SEA SSS FUL L1

=> fil capl

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
185.88
186.10

FILE 'CAPLUS' ENTERED AT 14:05:41 ON 21 MAR 2009

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FILE COVERS 1907 - 21 Mar 2009 VOL 150 ISS 13 FILE LAST UPDATED: 20 Mar 2009 (20090320/ED)

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=> s 13 L4 11 L3

=> d 14 ibib hitstr abs 1-11

10581412

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1190716 CAPLUS

DOCUMENT NUMBER: 149:524574

TITLE: Structure-activity relationships of pyrazine-based CK2

inhibitors: synthesis and evaluation of

2,6-disubstituted pyrazines and 4,6-disubstituted

pyrimidines

AUTHOR(S): Suzuki, Yamato; Cluzeau, Jerome; Hara, Takafumi;

Hirasawa, Akira; Tsujimoto, Gozoh; Oishi, Shinya;

Ohno, Hiroaki; Fujii, Nobutaka

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Kyoto

University, Kyoto, Japan

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2008),

341(9), 554-561

CODEN: ARPMAS; ISSN: 0365-6233 Wiley-VCH Verlag GmbH & Co. KGaA

PUBLISHER: Wiley-VC
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 875900-14-4P 1078718-42-9P 1078718-43-0P 1078718-44-1P 1078718-45-2P 1078718-46-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Structure-activity relationships of pyrazine-based CK2 inhibitors: synthesis and evaluation of $2,6-{\rm disubstituted}$ pyrazines and

4,6-disubstituted pyrimidines)

RN 875900-14-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indol-1-y1)-2-pyraziny1]- (CA INDEX NAME)

RN 1078718-42-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 1078718-43-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 1078718-44-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 1,4,5,6-tetrahydro-1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 1078718-45-2 CAPLUS

CN Butanoic acid, 4-[[6-(1H-indol-1-yl)-2-pyrazinyl]amino]- (CA INDEX NAME)

RN 1078718-46-3 CAPLUS CN Hexanoic acid, 6-[[6-(1H-indol-1-yl)-2-pyrazinyl]amino]- (CA INDEX NAME)

AB Structually related to the known CK2 inhibitors, 2,6-disubstituted pyrazine and 4,6-disubstituted pyrimidine derivs. were synthesized and their inhibitory activities toward $CK2\alpha$ and $CK2\alpha'$ were evaluated. Structure-activity relationship study has revealed that several pyrazine derivs. bearing a (pyrrol-3-yl)acetic acid and a monosubstituted aniline possess potent inhibitory activities. REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10581412

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1097319 CAPLUS

DOCUMENT NUMBER: 149:299805

TITLE: Antitumor agents containing pyrazine derivatives INVENTOR(S): Sekitani, Yumiko; Yamada, Masaki; Nishimura, Kazumi

PATENT ASSIGNEE(S): Toray Industries, Inc., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 80pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008208074	A	20080911	JP 2007-46700	20070227
PRIORITY APPLN. INFO.:			JP 2007-46700	20070227
OTHER SOURCE(S):	MARPAT	149:299805		
IT 940882-05-3P 940882-	-36-0P S	940882-38-2P		

IT 940882-05-3P 940882-36-0P 940882-38-2P 940882-52-0P 940882-56-4P 940882-66-6P 940882-70-2P 940882-77-9P 940882-78-0P

1050682-08-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antitumor agents containing pyrazine derivs.)

RN 940882-05-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-36-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(1-methylethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-38-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-52-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(diethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-56-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(dimethylamino)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-66-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclohexylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-70-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-methylethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-77-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-78-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2,3-dihydro-1H-inden-2-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 1050682-08-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylamino)-1H-indazol-1-yl]-2-pyrazinyl]-, sodium salt (1:1) (CA INDEX NAME)

● Na

IT 940881-86-7P 940881-92-5P 940881-98-1P 940882-03-1P 940882-07-5P 940882-11-1P 940882-15-5P 940882-19-9P 940882-23-5P

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940882-25-7P 940882-27-9P 940882-29-1P
                      940882-31-5P 940882-33-7P 940882-34-8P
                      940882-35-9P 940882-37-1P 940882-39-3P
                      940882-41-7P 940882-43-9P 940882-45-1P
                      940882-47-3P 940882-49-5P 940882-51-9P
                      940882-53-1P 940882-55-3P 940882-57-5P
                      940882-59-7P 940882-61-1P 940882-63-3P
                      940882-64-4P
                      RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
                      BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
                      USES (Uses)
                                     (antitumor agents containing pyrazine derivs.)
                      940881-86-7 CAPLUS
RN
CN
                      1 \\ \\ H-Pyrrole-3-acetic\ acid,\ 1-[6-[6-[methyl(1-methylethyl)amino]-1\\ \\ H-indazol-1\\ \\ I-[6-[6-[methyl(1-methylethyl)amino]-1] \\ \\ H-indazol-1\\ \\ I-[6-[6-[methyl(1-methyl)amino]-1] \\ \\ H-indazol-1\\ \\ H-inda
                      1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)
```

RN 940881-92-5 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-pyrrolidinyl)-1H-indazol-1-yl]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940881-98-1 CAPLUS CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(dimethylamino)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-03-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-07-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-11-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-15-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperazinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-19-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methoxy-1-piperidinyl)-1H-indazol-1-y1]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-23-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(hydroxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-25-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(ethylamino)-1H-indazol-1-y1]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-27-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(hexylamino)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

Me- (CH₂)₅-NH
$$N$$

$$N$$

$$N$$

$$CH2-C-OEt$$

$$O$$

RN 940882-29-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(2,6-dimethyl-4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-31-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,5-dimethyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-33-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[6-[3-(2-ethoxy-2-oxoethyl)-1H-pyrrol-1-y1]-2-pyrazinyl]-1H-indazol-6-yl]-, phenylmethyl ester (CA INDEX NAME)

RN 940882-34-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperazinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-35-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(1-methylethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-37-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

MeO-CH₂-CH₂

$$\begin{array}{c} N \\ N \\ N \\ N \\ \end{array}$$

$$\begin{array}{c} N \\ N \\ N \\ \end{array}$$

$$\begin{array}{c} CH_2-C-\text{OEt} \\ 0 \\ \end{array}$$

RN 940882-39-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethoxy)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\text{-CH}_2\text{-O} \\ \\ \text{CH}_2\text{-C-OEt} \\ \\ \text{O} \\ \end{array}$$

RN 940882-41-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(methoxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-43-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)]2-(4-morpholinyl)ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-45-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-47-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[ethyl(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-49-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylmethylamino)-1H-indazol-1-y1]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-51-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(diethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-53-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(dimethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-55-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(dimethylamino)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-57-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,6-dihydro-1(2H)-pyridinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-59-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1H-pyrrol-1-yl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-61-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-63-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-amino-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} H_2N & N & N \\ \hline & N & N \\ \hline & N & N \\ \hline & CH_2-C-OEt \\ & O \end{array}$$

RN 940882-64-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-amino-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

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ΙT
     940881-89-0P 940881-95-8P 940882-00-8P
     940882-09-7P 940882-13-3P 940882-17-7P
     940882-21-3P 940882-24-6P 940882-26-8P
     940882-28-0P 940882-30-4P 940882-32-6P
     940882-40-6P 940882-42-8P 940882-44-0P
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     940882-83-7P 940882-84-8P 940882-85-9P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (antitumor agents containing pyrazine derivs.)
     940881-89-0 CAPLUS
RN
CN
     1H-Pyrrole-3-acetic acid, 1-[6-[6-[methyl(1-methylethyl)amino]-1H-indazol-
```

1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940881-95-8 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-pyrrolidinyl)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-00-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(dimethylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-09-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-13-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-17-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperazinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-21-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methoxy-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-24-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(hydroxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-26-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(ethylamino)-1H-indazol-1-y1]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-28-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(hexylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-30-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(2,6-dimethyl-4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-32-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,5-dimethyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-40-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethoxy)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-42-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(methoxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-44-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)]2-(4-morpholinyl)ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-46-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-48-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[ethyl(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-50-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylmethylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-54-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(dimethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-58-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,6-dihydro-1(2H)-pyridinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-60-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1H-pyrrol-1-yl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-62-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

10581412

RN 940882-65-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1H-imidazol-5-ylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array}$$

RN 940882-67-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(cyclohexylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-68-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cycloheptylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-69-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-phenylethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-71-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-pyridinylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-72-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(tetrahydro-2H-pyran-4-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-73-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-methylbutyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-74-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-acetyl-4-piperidinyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-75-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-thiazolylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

$$\begin{array}{c} N \\ S \\ \end{array} \begin{array}{c} CH_2 - NH \\ \end{array} \begin{array}{c} N \\ N \\ \end{array} \begin{array}{c} N \\ N \\ \end{array} \begin{array}{c} N \\ CH_2 - CO_2H \\ \end{array}$$

RN 940882-76-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-cyclohexylethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-79-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(4-methoxyphenyl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-80-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-81-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(2-ethyl-4-methyl-1H-imidazol-5-yl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO}_2\text{C}-\text{CH}_2 \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{Me} \end{array}$$

RN 940882-82-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-83-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(2-butyl-5-chloro-1H-imidazol-4-yl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-84-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-(phenylmethyl)-4-piperidinyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-85-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-methyl-2-buten-1-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

$$\label{eq:me2c} \texttt{Me2c} = \texttt{CH-CH2-NH} \\ \\ \begin{tabular}{l} N \\ N \\ \begin{tabular}{l} N \\ \begin{tabular}{l}$$

GI

The invention provides an antitumor agent containing a pyrazine derivative represented by a general formula I (n = 0-2; R1 = H, C1-4 alkyl; A = indolediyl, pyrrolediyl, furandiyl, thiophenediyl, etc.; R2, R3 = H, C1-8 alkyl, C3-8 (un) substituted branched alkyl, C3-8 (un) substituted cyclic alkyl, etc., wherein R2 and R3 can form a (un) substituted 5- or 6-membered heterocyclic group), or its pharmaceutically acceptable salt as an active component. For example, 1-[6-[6-(cyclopentylamino)-1H-indazol-1-yl]-2-pyrazinyl]-1H-Pyrrole-3-acetic acid was prepared, and examined for its antitumor effect in mouse and human leukemia, colon cancer, lung cancer, breast cancer, and prostate cancer cells.

L4ANSWER 3 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1011384 CAPLUS DOCUMENT NUMBER: 149:288938 Preparation of new substituted arylsulphonylglycines TITLE: as inhibitors of the interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 and their pharmaceutical compositions useful for treating diabetes Wagner, Holger; Langkopf, Elke; Streicher, Ruediger; INVENTOR(S): Eckhardt, Matthias; Schuler-Metz, Annette; Pautsch, Alexander; Schoelch, Corinna Boehringer Ingelheim International G.m.b.H., Germany PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 397pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. ----_____ _____ _____ _____ WO 2008-EP51824 20080215 WO 2008099000 A2 20080821 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM 20080821 DE 2007-102007007751 DE 102007007751 A1 20070216 PRIORITY APPLN. INFO.: DE 2007-102007007751A 20070216 OTHER SOURCE(S): MARPAT 149:288938 1049029-33-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; preparation of substituted arylsulfonylglycines as

inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)

RN 1049029-33-5 CAPLUS

CN Glycine, N-[(3,5-dichlorophenyl)sulfonyl]-N-[1-[6-(1-piperazinyl)-2-pyrazinyl]-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 1049020-88-3P 1049021-59-1P 1049022-04-9P
 1049025-73-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of substituted arylsulfonylglycines as inhibitors
 of interaction between glycogen phosphorylase and GL subunit of
 glycogen-associated protein phosphatase 1 for treating diabetes mellitus)
RN 1049020-88-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[(3,5-dichlorophenyl)sulfonyl]][2 (1,1-dimethylethoxy)-2-oxoethyl]amino]-1H-indol-1-yl]-2-pyrazinyl]-,
 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1049021-59-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[(3,5-dichlorophenyl)sulfonyl]amino]1H-indol-1-yl]-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1049022-04-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-(5-amino-1H-indol-1-yl)-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1049025-73-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-(5-nitro-1H-indol-1-yl)-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

GΙ

The invention is related to the preparation of substituted arylsulfonylglycines I [R5 = (un)substituted Ph, pyridazin-3-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyrazin-2-yl, pyridin-2-yl, pyridin-3-yl], tautomers, enantiomers, diastereomers, and their mixts. and their salts, and their analogs which have the ability to suppress the interaction of glycogen phosphorylase with the GL subunit of glycogen-associated protein phosphatase 1 (PP1), and to their pharmaceutical compns. useful for treating diabetes mellitus. Thus, alkylation of 3,5-dichloro-N-(1H-indol-5-yl)benzenesulfonamide with tert-Bu 2-bromoacetate in DMF in the presence of K2CO3, N-arylation of indole with

2-iodobenzonitrile in toluene in the presence of K3PO4 and CuI and cleavage of the tert-Bu group gave phenylsulfinylglycine II. In a binding test, arylsulfonylglycines I inhibited the interaction of human liver glycogen phosphorylase with protein PP1R3 (GL subunit of glycogen-associated PP1) with IC50 values in the range of 9 nM to 15 $\mu\text{M}.$

L4ANSWER 4 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1004593 CAPLUS DOCUMENT NUMBER: 149:288936 Preparation of new substituted arylsulphonylglycines TITLE: as inhibitors of the interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 and their pharmaceutical compositions useful for treating diabetes Wagner, Holger; Langkopf, Elke; Eckhardt, Matthias; INVENTOR(S): Streicher, Ruediger; Schoelch, Corinna; Schuler-Metz, Annette; Pautsch, Alexander PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany Ger. Offen., 276pp. SOURCE: CODEN: GWXXBX DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE -----_____ ____ A1 DE 2007-102007007751 20070216 WO 2008-EP51824 20080215 DE 102007007751 A1 20080821 A2 20080821 20080821 WO 2008099000 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM DE 2007-102007007751A 20070216 PRIORITY APPLN. INFO.: 1049029-33-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)

RN 1049029-33-5 CAPLUS

CN Glycine, N-[(3,5-dichlorophenyl)sulfonyl]-N-[1-[6-(1-piperazinyl)-2-pyrazinyl]-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 1049020-88-3P 1049021-59-1P 1049022-04-9P
 1049025-73-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of substituted arylsulfonylglycines as inhibitors
 of interaction between glycogen phosphorylase and GL subunit of
 glycogen-associated protein phosphatase 1 for treating diabetes mellitus)
RN 1049020-88-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[(3,5-dichlorophenyl)sulfonyl]][2 (1,1-dimethylethoxy)-2-oxoethyl]amino]-1H-indol-1-yl]-2-pyrazinyl]-,
 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1049021-59-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[(3,5-dichlorophenyl)sulfonyl]amino]1H-indol-1-yl]-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1049022-04-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-(5-amino-1H-indol-1-yl)-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1049025-73-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-(5-nitro-1H-indol-1-yl)-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

GΙ

$$\begin{array}{c|c}
C1 & CO_2H \\
\hline
C1 & S & N \\
\hline
C1 & S & N \\
\hline
C1 & CO_2H \\
\hline
C2 & CO_2H \\
\hline
C3 & CO_2H \\
\hline
C4 & CO_2H \\
\hline
C5 & CO_2H \\
\hline
C6 & CO_2H \\
\hline
C7 & CO_2H \\
\hline
C7 & CO_2H \\
\hline
C8 & CO_2H \\
\hline
C9 & CO_2H \\
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C1 & CO_2H \\
\hline
C1 & CO_2H \\
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C1 & CO_2H \\
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C2 & CO_2H \\
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C3 & CO_2H \\
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C4 & CO_2H \\
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C5 & CO_2H \\
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C6 & CO_2H \\
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C7 & CO_2H \\
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C7 & CO_2H \\
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C8 & CO_2H \\
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C9 & CO_2H \\
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C9 & CO_2H \\
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C9 & CO_2H \\
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C1 & CO_2H \\
\hline
C2 & CO_2H \\
\hline
C3 & CO_2H \\
\hline
C4 & CO_2H \\
\hline
C5 & CO_2H \\
\hline
C6 & CO_2H \\
\hline
C7 & CO_2H \\
\hline
C8 & CO_2H \\
\hline
C9 & CO_2H \\$$

The invention is related to the preparation of substituted arylsulfonylglycines I [R5 = (un)substituted Ph, pyridazin-3-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyrazin-2-yl, pyridin-2-yl, pyridin-3-yl], tautomers, enantiomers, diastereomers, and their mixts. and their salts, and their analogs which have the ability to suppress the interaction of glycogen phosphorylase with the GL subunit of glycogen-associated protein phosphatase 1 (PP1), and to their pharmaceutical compns. useful for treating diabetes mellitus. Thus, alkylation of 3,5-dichloro-N-(1H-indol-5-yl)benzenesulfonamide with tert-Bu 2-bromoacetate in DMF in the presence of K2CO3, N-arylation of indole with

2-iodobenzonitrile in toluene in the presence of K3PO4 and CuI and cleavage of the tert-Bu group gave phenylsulfinylglycine II. In a binding test, arylsulfonylglycines I inhibited the interaction of human liver glycogen phosphorylase with protein PP1R3 (GL subunit of glycogen-associated PP1) with IC50 values in the range of 9 nM to 15 $\mu\text{M}.$

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:939664 CAPLUS

DOCUMENT NUMBER: 149:239318

TITLE: Pyrazine derivs. as antitumor agents

INVENTOR(S): Sekitani, Yumiko; Yamada, Masaki; Nishimura, Kazumi

PATENT ASSIGNEE(S): Toray Industries, Inc., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 19pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008179567	A	20080807	JP 2007-14604	20070125
PRIORITY APPLN. INFO.:			JP 2007-14604	20070125
OTHER SOURCE(S):	MARPAT	149:239318		
TH 1044500 CE OD 1044500 CE ED				

IT 1044598-65-3P 1044598-67-5P,

1-[6-(6-Fluoro-1H-indol-1-yl)pyrazin-2-yl]-1H-pyrazole-4-carboxylic acid 1044598-68-6P 1044598-70-0P 1044598-71-1P,

Ethyl 2-[1-[6-(3-amino-6-chloro-1H-indazolyl)pyrazin-2-yl]pyrrol-3-

yl]acetate 1044598-72-2P 1044598-73-3P, Ethyl

2-[1-[6-(6-cyano-1H-indazolyl)pyrazin-2-yl]pyrrol-3-yl]acetate

1044598-74-4P 1044598-75-5P, Ethyl

 $2-[1-[6-(6-\text{trifluoromethyl-1H-indazolyl})\,\text{pyrazin-2-yl}]\,\text{pyrrol-3-yl}]\,\text{acetate}\\ 1044598-76-6P$

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyrazine derivs. as antitumor agents)

RN 1044598-65-3 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-[6-(6-fluoro-1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 1044598-67-5 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-[6-(6-fluoro-1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 1044598-68-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-amino-6-[2-(4-morpholinyl)ethoxy]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 1044598-70-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-amino-6-[2-(4-morpholiny1)ethoxy]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 1044598-71-1 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-amino-6-chloro-1H-indazol-1-yl)-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 1044598-72-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-amino-6-chloro-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 1044598-73-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-cyano-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 1044598-74-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-cyano-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 1044598-75-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(trifluoromethyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 1044598-76-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(trifluoromethyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

IT 875900-37-1 875900-43-9 1044598-77-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(pyrazine derivs. as antitumor agents)

RN 875900-37-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-43-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-nitro-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 1044598-77-7 CAPLUS CN 1H-Pyrazole-4-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

GΙ

$$\begin{array}{c|c} & N \\ & &$$

AB The pyrazine derivs. (I; n = 0-2; R1 = H, C1-3 alkyl; A = heterocyclic; E = direct bonding or -NH-; E = -NH-; D = (substituted) Ph at thiazolyl, aromatic) and their pharmaceutically acceptable salts are claimed as antitumor agents for treatment of colon cancer, lung cancer, prostate cancer, hepatoma, mammary cancer, and leukemia. I were prepared, and their antitumor effects were tested.

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ANSWER 6 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
ACCESSION NUMBER:
                                   2008:447377 CAPLUS
                                   148:426887
DOCUMENT NUMBER:
                                   Preparation of indazolyl derivatives useful as
TITLE:
                                   potassium channel modulating agents
INVENTOR(S):
                                   Eriksen, Birgitte L.; Soerensen, Ulrik Svane;
                                   Hougaard, Charlotte; Teuber, Lene; Peters, Dan;
                                   Christophersen, Palle; Johansen, Tina Holm
PATENT ASSIGNEE(S):
                                   Neurosearch A/S, Den.
                                   PCT Int. Appl., 38pp.
SOURCE:
                                   CODEN: PIXXD2
DOCUMENT TYPE:
                                   Patent
LANGUAGE:
                                   English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
       PATENT NO.
                                 KIND DATE
                                                             APPLICATION NO.
                                                                                               DATE
       _____
                                             _____
                                  ____
                                                              ______
       WO 2008040753
                                   A1 20080410 WO 2007-EP60493
                                                                                              20071003
            W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
            W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
                  GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
                  BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                                               DK 2006-1280
                                                                                         A 20061003
                                                               US 2006-827940P
                                                                                         P 20061003
OTHER SOURCE(S):
                                   MARPAT 148:426887
       1018474-72-0P
       RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
       (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
       (Uses)
           (preparation of novel indazolyl derivs. as potassium channel modulators
```

RN 1018474-72-0 CAPLUS

of potassium channels)

CN 2-Pyrazinamine, N-(4-chlorophenyl)-6-(1H-indazol-1-yl)- (CA INDEX NAME)

useful in treatment and prevention of diseases - associated with activity

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. I or II [n = 0-3; X = 0, S or NR1 (wherein R1 = H,AB alkyl, cycloalkyl or cycloalkyl-alkyl); Y = alkyl, cycloalkyl, (un) substituted Ph, etc.; A1 = N or CR2; A2 = N or CH, provided, however, that only one of A1 and A2 represents N; R1-R4 = H, alkyl, aminoalkyl, etc.; or R1 and R2, together with the heteroarom. ring to which they are attached, form a benzo-fused ring; and R3 and R4 = H, alkyl, aminoalkyl, etc.], useful as potassium channel modulating agents, were prepared E.g., a 2-step synthesis of III (14%) and IV (5%), starting from 2,4-dichloro-6-methylpyrimidine and 4-chloroaniline, was given. The SC100 value determined for III was 0.08 μM which is an indication of its strong SK3 activating properties. Moreover the invention is directed to pharmaceutical compns. useful for the treatment or alleviation of diseases or disorders associated with the activity of potassium channels, in particular respiratory diseases, epilepsy, convulsions, seizures, absence seizures, vascular spasms, coronary artery spasms, renal disorders, polycystic kidney disease, bladder spasms, urinary incontinence, bladder outflow obstruction, erectile dysfunction, gastrointestinal dysfunction, secretory diarrhea, ischemia, cerebral ischemia, ischemic heart, disease, angina pectoris, coronary heart disease, autism, ataxia, traumatic brain injury, Parkinson's disease, bipolar disorder, psychosis, schizophrenia, anxiety, depression, mania, mood disorders, dementia, memory and attention deficits, Alzheimer's disease, amyotrophic lateral sclerosis (ALS), dysmenorrhea, narcolepsy, Reynaud's disease, intermittent claudication, Sjorgren's syndrome, arrhythmia, hypertension, myotonic muscle dystrophia, spasticity, xerostomia, diabetes type II, hyperinsulinemia, premature labour, baldness, cancer, irritable bowel syndrome, immune suppression, migraine and pain.

REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4

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ACCESSION NUMBER: 2007:640251 CAPLUS
DOCUMENT NUMBER:
                        147:52932
                       Preparation of novel pyrazines and their use for
TITLE:
                        treatment of nephritis
INVENTOR(S):
                        Fuchi, Nobuhiro; Iura, Yosuke; Kaneko, Hiroaki;
                        Yamada, Masaki; Sekitani, Yumiko
PATENT ASSIGNEE(S):
                        Toray Industries, Inc., Japan
                        Jpn. Kokai Tokkyo Koho, 69pp.
SOURCE:
                        CODEN: JKXXAF
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO.
                       KIND DATE
                                         APPLICATION NO.
                                                                 DATE
    _____
                       ____
                              _____
                                          _____
                                                                 ______
    JP 2007145786
                        A 20070614
                                          JP 2005-345710
                                                                 20051130
                                          JP 2005-345710
PRIORITY APPLN. INFO.:
                                                                 20051130
                       MARPAT 147:52932
OTHER SOURCE(S):
    940881-86-7P 940881-89-0P 940881-92-5P
    940881-95-8P 940881-98-1P 940882-00-8P
    940882-03-1P 940882-05-3P 940882-07-5P
    940882-09-7P 940882-11-1P 940882-13-3P
    940882-15-5P 940882-17-7P 940882-19-9P
    940882-21-3P 940882-23-5P 940882-24-6P
    940882-25-7P 940882-26-8P 940882-27-9P
    940882-28-0P 940882-29-1P 940882-30-4P
    940882-31-5P 940882-32-6P 940882-33-7P
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    940882-37-1P 940882-38-2P 940882-39-3P
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    940882-43-9P 940882-44-0P 940882-45-1P
    940882-46-2P 940882-47-3P 940882-48-4P
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    940882-55-3P 940882-56-4P 940882-57-5P
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    940882-64-4P 940882-65-5P 940882-66-6P
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    940882-70-2P 940882-71-3P 940882-72-4P
    940882-73-5P 940882-74-6P 940882-75-7P
    940882-76-8P 940882-77-9P 940882-78-0P
    940882-79-1P 940882-80-4P 940882-81-5P
    940882-82-6P 940882-83-7P 940882-84-8P
    940882-85-9P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrazines for treatment of nephritis)
    940881-86-7 CAPLUS
RN
    1H-Pyrrole-3-acetic acid, 1-[6-[6-[methyl(1-methylethyl)amino]-1H-indazol-
CN
```

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

1-y1]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940881-89-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[methyl(1-methylethyl)amino]-1H-indazol-1-y1]-2-pyrazinyl]- (CA INDEX NAME)

RN 940881-92-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-pyrrolidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940881-95-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-pyrrolidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940881-98-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(dimethylamino)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-00-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(dimethylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-03-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-05-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-07-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-morpholinyl)-1H-indazol-1-yl]-2-

pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-09-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-11-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-13-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-15-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperazinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-17-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperazinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-19-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methoxy-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-21-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methoxy-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-23-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(hydroxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-24-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(hydroxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-25-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(ethylamino)-1H-indazol-1-yl]-2-

pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-26-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(ethylamino)-1H-indazol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 940882-27-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(hexylamino)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-28-0 CAPLUS

1H-Pyrrole-3-acetic acid, 1-[6-[6-(hexylamino)-1H-indazol-1-y1]-2-pyrazinyl]- (CA INDEX NAME) CN

RN 940882-29-1 CAPLUS

 $1 \\ \\ H-Pyrrole-3-acetic\ acid,\ 1-[6-[6-(2,6-dimethyl-4-morpholinyl)-1\\ \\ H-indazol-1$ CN 1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-30-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(2,6-dimethyl-4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

Me N N N N N
$$\operatorname{CH}_2-\operatorname{CO}_2H$$

RN 940882-31-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,5-dimethyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-32-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,5-dimethyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-33-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[6-[3-(2-ethoxy-2-oxoethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-1H-indazol-6-yl]-, phenylmethyl ester (CA INDEX NAME)

RN 940882-34-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperazinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-35-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(1-methylethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-36-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(1-methylethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-37-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-38-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-39-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethoxy)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-40-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethoxy)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-41-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(methoxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-42-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(methoxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-43-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)]2-(4-morpholinyl)ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-44-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)]2-(4-morpholinyl)ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-45-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-46-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-47-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[ethyl(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-48-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[ethyl(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-49-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylmethylamino)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-50-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylmethylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-51-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(diethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-52-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(diethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-53-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(dimethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-54-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(dimethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-55-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(dimethylamino)-1-piperidinyl]-1H-

indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-56-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(dimethylamino)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-57-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,6-dihydro-1(2H)-pyridinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-58-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,6-dihydro-1(2H)-pyridinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-59-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1H-pyrrol-1-yl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-60-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1H-pyrrol-1-yl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-61-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-62-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-63-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-amino-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-64-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-amino-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-65-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1H-imidazol-5-ylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array}$$

$$CH_2 - NH \\ N \\ N \\ N \\ N \\ N \\ CH_2 - CO_2H \\ \end{array}$$

RN 940882-66-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclohexylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-67-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(cyclohexylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-68-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cycloheptylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-69-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-phenylethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-70-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-methylethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-71-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-pyridinylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-72-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(tetrahydro-2H-pyran-4-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-73-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-methylbutyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

$$\mathsf{Me_2CH-CH_2-CH_2-NH} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{CH_2-CO_2H} \\ \mathsf{CH_2-CO_2H} \\ \mathsf{N} \\$$

RN 940882-74-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-acetyl-4-piperidinyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-75-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-thiazolylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-76-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-cyclohexylethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-77-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-78-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2,3-dihydro-1H-inden-2-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-79-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(4-methoxyphenyl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-80-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-81-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(2-ethyl-4-methyl-1H-imidazol-5-yl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO}_2\text{C-CH}_2 \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{Me} \end{array}$$

RN 940882-82-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-83-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(2-butyl-5-chloro-1H-imidazol-4-yl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-84-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-(phenylmethyl)-4-piperidinyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-85-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-methyl-2-buten-1-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

GΙ

$$R^{10}2C-(CH_2)_{n}-A$$
 N
 N
 R^{2}
 R^{2}
 R^{2}

AB Title compds. I [n = 0-2; R1 = H, C1-4 linear alkyl; A = (C1-4 linear alkyl-substituted) indolediyl, pyrrolediyl, furandiyl, thiophenediyl, pyrazolediyl, imidazolediyl, etc.; X = N, CH; R2, R3 = H, C1-8 linear alkyl, (un)substituted C3-8 branched or cyclic alkyl, COR4, SO2R4; R4 = H, C1-3 linear alkyl, C3-5 branched alkyl, Ph; R2 = R3 ≠ H; R2NR3 may form (un)saturated (un)substituted 5- to 6-membered heterocyclyl] are prepared Thus, Et 2-[1-(6-iodopyrazin-2-yl)pyrrol-3-yl]acetate was reacted with 6-(piperidin-1-yl)-1H-indazole to give Et 2-[1-[6-[6-(piperidin-1-yl)-1H-indazol-1-yl]pyrazin-2-yl]-1H-pyrrol-3-yl]acetate, which was hydrolyzed to afford the corresponding carboxylic acid. The product inhibited PDGF-stimulated mesangial cell proliferation with IC50 value of 2.0 μM.

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:144145 CAPLUS

DOCUMENT NUMBER: 144:212807

TITLE: Preparation of pyrazines, medicines containing them,

and their pharmaceutical use for treatment of

nephritis

INVENTOR(S): Takahashi, Toshiya; Fuchi, Nobuhiro; Yamada, Masaki;

Nitta, Aiko

PATENT ASSIGNEE(S): Toray Industries, Inc., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 83 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006045119	А	20060216	JP 2004-228530	20040804
RIORITY APPLN. INFO.:			JP 2004-228530	20040804

OTHER SOURCE(S): MARPAT 144:212807

IT 875899-98-2P 875900-18-8P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazines for treatment of nephritis)

RN 875899-98-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-(carboxymethyl)-1H-indol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-18-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholinyl)ethyl]-1H-indol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

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     875899-97-1P 875900-00-8P 875900-02-0P
     875900-04-2P 875900-06-4P 875900-11-1P
     875900-13-3P 875900-17-7P 875900-20-2P
     875900-22-4P 875900-24-6P 875900-26-8P
     875900-29-1P 875900-31-5P 875900-32-6P
     875900-34-8P 875900-36-0P 875900-38-2P
     875900-40-6P 875900-42-8P 875900-68-8P
     875900-70-2P 875900-72-4P 875900-74-6P
     875900-76-8P 875900-78-0P 875900-80-4P
     875900-82-6P 875900-84-8P 875900-86-0P
     875900-88-2P 875900-90-6P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of pyrazines for treatment of nephritis)
RN
     875899-97-1 CAPLUS
CN
     1H-Indole-3-acetic acid, 1,1'-(2,6-pyrazinediyl)bis-, diethyl ester (9CI)
     (CA INDEX NAME)
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RN 875900-00-8 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[(3-chlorophenyl)amino]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-02-0 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-04-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(1H-pyrrol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-06-4 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(2-thiazolylamino)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 875900-11-1 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-(2-ethoxy-2-oxoethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-13-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-17-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholinyl)ethyl]-1H-indol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-20-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)-2-oxoethy1]-1H-indol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 875900-22-4 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)-2-oxoethy1]-1H-indol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 875900-24-6 CAPLUS

CN 1H-Indole-3-propanoic acid, 1,1'-(2,6-pyrazinediyl)bis-, diethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{C} & \mathbf{C} &$$

RN

875900-26-8 CAPLUS 1H-Pyrrole-3-acetic acid, <math display="inline">1-[6-[4-[2-(4-morpholinyl)ethoxy]-1H-indol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)CN

PAGE 1-A

PAGE 2-A

$$CH_2-C-OEt$$

RN 875900-29-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[5-(phenylmethoxy)-1H-indol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-31-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[1-[6-[3-(2-ethoxy-2-oxoethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 875900-32-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[1-[6-[3-(carboxymethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-1H-indol-3-yl]ethyl]-, 1-(1,1-dimethylethyl) ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 875900-34-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-pyrrolo[2,3-b]pyridin-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-36-0 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-38-2 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-benzimidazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-40-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-chloro-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-42-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-nitro-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-68-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-nitro-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-70-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-[2-(4-morpholinyl)ethoxy]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

PAGE 1-A

RN 875900-72-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholinyl)ethoxy]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 875900-74-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-amino-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-76-8 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(acetylamino)-1H-indazol-1-yl]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-78-0 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-methoxy-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-80-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-(2-methoxyethoxy)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-82-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-amino-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-84-8 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-86-0 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-88-2 CAPLUS

CN 1H-Pyrrole-2-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester

(CA INDEX NAME)

RN 875900-90-6 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

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875900-01-9P 875900-03-1P 875900-05-3P
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     875900-21-3P 875900-23-5P 875900-25-7P
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     875900-35-9P 875900-37-1P 875900-39-3P
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     875900-71-3P 875900-73-5P 875900-75-7P
     875900-77-9P 875900-79-1P 875900-81-5P
     875900-83-7P 875900-85-9P 875900-87-1P
     875900-89-3P 875900-91-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrazines for treatment of nephritis)
     875900-01-9 CAPLUS
RN
     1H-Indole-3-acetic acid, 1-[6-[(3-chlorophenyl)amino]-2-pyrazinyl]- (CA
CN
     INDEX NAME)
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RN 875900-03-1 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-05-3 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(1H-pyrrol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-07-5 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(2-thiazolylamino)-2-pyrazinyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 875900-12-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-(carboxymethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-14-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-21-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)-2-oxoethy1]-1H-indol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 875900-23-5 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)-2-oxoethy1]-1H-indol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 875900-25-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 1,1'-(2,6-pyrazinediyl)bis- (CA INDEX NAME)

RN 875900-27-9 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-[2-(4-morpholinyl)ethoxy]-1H-indol-1-yl]2-pyrazinyl]- (CA INDEX NAME)

RN 875900-30-4 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[5-(phenylmethoxy)-1H-indol-1-y1]-2pyrazinyl]- (CA INDEX NAME)

RN 875900-33-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(1-piperazinyl)ethyl]-1H-indol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-35-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-pyrrolo[2,3-b]pyridin-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-37-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indazol-1-y1)-2-pyraziny1]- (CA INDEX NAME)

RN 875900-39-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-benzimidazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-41-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-chloro-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-43-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-nitro-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-69-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-nitro-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-71-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-[2-(4-morpholinyl)ethoxy]-1H-indazol-1-

yl]-2-pyrazinyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

\ СH₂— СО₂Н

RN 875900-73-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholinyl)ethoxy]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A
$$\label{eq:ch2-co2h} $\operatorname{CH}_2-\operatorname{CO}_2 H$$$

RN 875900-75-7 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-amino-1H-indazol-1-yl)-2-pyrazinyl](CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 875900-77-9 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(acetylamino)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 875900-79-1 CAPLUS CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-methoxy-1H-indazol-1-yl)-2-pyrazinyl]-(CA INDEX NAME)

RN 875900-81-5 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-(2-methoxyethoxy)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-83-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-amino-1H-indazol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-85-9 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-87-1 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-89-3 CAPLUS

CN 1H-Pyrrole-2-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-91-7 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

GI

$$R^{1}-O-CO-CH_{2}$$
 A N $E-D$ CH_{2}

AB Pyrazines I [n = 0-2; R1 = H, C1-3 linear alkyl; A = indolediyl, pyrrolediyl, furandiyl, thiophenediyl, (iso)thiazolediyl, triazolediyl, etc.; E = none, NH; when E = NH, then D = thiazolyl, (un)substituted Ph; when E = none, then D = (2-phenoxy)phenyl, naphthyl, (iso)quinolyl, (7-aza)indolyl, benzofuranyl, benzothienyl, pyrrolyl, (iso)thiazolyl, etc.], their derivs., or their pharmacol. acceptable salts are prepared The compds. are useful for treatment of acute or chronic glomerulonephritis, mesangial proliferative glomerulonephritis, IgA nephropathy, minimal change nephrotic syndrome, membranoproliferative glomerulonephritis, and lupus nephritis. Thus, Et indole-3-acetate was condensed with 2,6-diiodopyrazine and the product was hydrolyzed to give 2-[1-[6-[3-(carboxymethyl)indolyl]pyrazin-2-yl]indol-3-yl]acetic acid,

which at 50 mg/kg i.p. showed efficacy in anti-GBM nephritis in rats without adversely affecting the body weight nor organs.

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L4
      ANSWER 9 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:638866 CAPLUS
                                 143:153403
DOCUMENT NUMBER:
                                 Preparation of benzimidazolylazines and related
TITLE:
                                 compounds as selective JAK3 kinase inhibitors
                                 Styles, Michelle Leanne; Zeng, Jun; Treutlein, Herbert
INVENTOR(S):
                                 Rudolf; Wilks, Andrew Frederick; Kling, Marcel Robert;
                                 Bu, Xianyong; Burns, Christopher John
PATENT ASSIGNEE(S):
                                 Cytopia Research Pty. Ltd., Australia
                                 PCT Int. Appl., 85 pp.
SOURCE:
                                 CODEN: PIXXD2
DOCUMENT TYPE:
                                 Patent
LANGUAGE:
                                 English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      PATENT NO.
                               KIND DATE APPLICATION NO.
                               ---- ------ ------
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      WO 2005066156
                                 A1 20050721 WO 2005-AU22
                                                                                         20050112
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CA 2545427
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20060927 EP 2005-700054
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      CN 1906190 A 20070131 CN 2005-80001563
BR 2005006817 A 20070529 BR 2005-6817
GB 2432834 A 20070606 GB 2007-4098
JP 2007517807 T 20070705 JP 2006-548036
IN 2006KN00845 A 20070413 IN 2006-KN845
KR 2006126983 A 20061211 KR 2006-711057
MX 2006007640 A 20070417 MX 2006-7640
US 20080207613 A1 20080828 US 2006-585916
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                                                                                   20060711
A 20040112
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PRIORITY APPLN. INFO.:
                                                           GB 2006-12225 A3 20050112
WO 2005-AU22 W 20050112
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      860300-31-8P 860300-32-9P 860300-33-0P
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     860301-20-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (claimed compound; preparation of benzimidazolylazines and related compds.
as
        selective JAK3 kinase inhibitors)
     860293-18-1 CAPLUS
RN
     2-Propenamide, N-[1-[6-(ethylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]-
CN
     (CA INDEX NAME)
```

RN 860300-29-4 CAPLUS
CN 2-Propenamide, N-[1-[6-[[(1S)-1-methylpropyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 860300-30-7 CAPLUS
CN 2-Propenamide, N-[1-[6-[[(1R)-1-methylpropyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 860300-31-8 CAPLUS

CN 2-Propenamide, N-[1-[6-(phenylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-32-9 CAPLUS

CN 2-Propenamide, N-[1-[6-(dimethylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-33-0 CAPLUS

CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-35-2 CAPLUS

CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-4-hydroxy- (CA INDEX NAME)

$$\text{HO-CH}_2\text{-C} = \text{C-NH} \qquad \qquad \text{N} \\ \text{NHBu-t}$$

RN 860300-37-4 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2-methoxyphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-38-5 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2-chlorophenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-39-6 CAPLUS

CN 2-Butynamide, 4-[bis(2-hydroxyethyl)amino]-N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-40-9 CAPLUS

CN 2-Pentynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-5-hydroxy- (CA INDEX NAME)

RN 860300-43-2 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-45-4 CAPLUS

CN 2-Propynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-46-5 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1-methylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-47-6 CAPLUS

CN 2-Propenamide, N-[1-[6-(1-piperidinyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-49-8 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-50-1 CAPLUS

CN 2-Butynamide, N-[1-[6-[(2-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-51-2 CAPLUS

CN 2-Propenamide, N-[1-[6-[(3-methoxyphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-52-3 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2,6-dimethylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

$$\text{H}_2\text{C} = \text{CH} - \text{C} - \text{NH} \\ \text{N} \\ \text{NH} \\ \text{Me} \\ \text{Me} \\ \text{Me}$$

RN 860300-53-4 CAPLUS

CN 2-Propenamide, N-[1-[6-[(5-chloro-2-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-54-5 CAPLUS

CN 2-Propynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-(3-pyridinyl)- (CA INDEX NAME)

RN 860300-55-6 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2-chloro-6-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-56-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[(3-methyl-2-pyridinyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 860300-57-8 CAPLUS

CN 2-Butynamide, N-[1-[6-[(2-chloro-6-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-58-9 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2,5-dichlorophenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-59-0 CAPLUS

CN 2-Propynamide, N-[1-[6-[(2-chloro-6-methylphenyl)amino]-2-pyrazinyl]-1H-

benzimidazol-6-yl]-3-(3-pyridinyl)- (CA INDEX NAME)

RN 860300-60-3 CAPLUS

CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-4-(4-morpholinyl)- (CA INDEX NAME)

RN 860300-61-4 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2-ethylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-62-5 CAPLUS

CN 2-Pentenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-5-(4-morpholinyl)-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 860300-63-6 CAPLUS

CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-4-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 860300-67-0 CAPLUS

CN Ethenesulfonamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-68-1 CAPLUS

CN 2-Propenamide, N-[1-[6-[(4-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-69-2 CAPLUS

CN 2-Pentynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-5-(4-morpholinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{t-BuNH} & \\ & \text{N} &$$

RN 860300-72-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[(3-chlorophenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-76-1 CAPLUS

CN 2-Propenamide, N-[1-[6-(diethylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-78-3 CAPLUS

CN 2-Propenamide, N-[1-[6-[methyl(1-methylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

$$\text{H}_2\text{C} = \text{CH} - \text{C} - \text{NH} \\ \text{N} - \text{Pr} - \text{i} \\ \text{Me}$$

RN 860300-80-7 CAPLUS

CN 2,3-Butadienamide, 4-(diethylamino)-N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

$$\texttt{Et}_2 \texttt{N}-\texttt{CH}=\texttt{C}=\texttt{CH}-\texttt{C}-\texttt{NH}$$

RN 860300-81-8 CAPLUS

CN 2-Propenamide, N-[1-[6-(1-pyrrolidinyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-83-0 CAPLUS

CN 2-Propenamide, N-[1-[6-[(cyclopropylmethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-85-2 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2,3-dichlorophenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-87-4 CAPLUS

CN 2-Butynamide, 4-(diethylamino)-N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

$$\texttt{Et}_2 \texttt{N} - \texttt{CH}_2 - \texttt{C} = \texttt{C} - \texttt{C} - \texttt{NH} \qquad \qquad \texttt{N} \\ \texttt{N} \\$$

RN 860300-96-5 CAPLUS

CN 2-Propenamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 860300-99-8 CAPLUS

CN 2-Propenamide, N-[1-[6-(4-methyl-1-piperazinyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860301-00-4 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-(3-pyridinyl)-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 860301-03-7 CAPLUS

CN 2-Propenamide, N-[1-[6-(methylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]-(CA INDEX NAME)

RN 860301-05-9 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 860301-11-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-2-methyl- (CA INDEX NAME)

RN 860301-12-8 CAPLUS

CN 2-Propenamide, N-[1-[6-(4-morpholinyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860301-13-9 CAPLUS

CN 2-Propenoic acid, 3-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 860301-15-1 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-5-methoxy-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860301-19-5 CAPLUS

CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 860301-20-8 CAPLUS

CN 2-Butynamide, N-[1-[6-(phenylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

$$\text{Me-C} = \text{C-C-NH} \qquad \qquad \text{N} \qquad \text{N}$$

IT 860301-40-2P 860301-42-4P 860301-43-5P

860301-44-6P 860301-45-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazolylazines and related compds. as selective JAK3 kinase inhibitors) $\,$

RN 860301-40-2 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 860301-42-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-1,2,5,6-tetrahydro-1-methyl- (CA INDEX NAME)

RN 860301-43-5 CAPLUS

CN 2-Butenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860301-44-6 CAPLUS

CN 2-Butynamide, N-[1-[6-[(3-methyl-2-pyridinyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

$$Me-C = C-C-NH$$

$$N$$

$$N$$

$$N$$

$$N$$

$$N$$

$$N$$

$$N$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 860301-45-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-(3-pyridinyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

IT 629669-63-2P 629669-65-4P 860301-22-0P

860301-23-1P 860301-26-4P 860301-27-5P

860301-28-6P 860301-30-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazolylazines and related compds. as selective JAK3 kinase inhibitors)

RN 629669-63-2 CAPLUS

CN 1H-Benzimidazol-5-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-65-4 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 860301-22-0 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 860301-23-1 CAPLUS

CN 1H-Benzimidazol-5-amine, 1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-

(CA INDEX NAME)

RN 860301-26-4 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[(cyclopropylmethyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 860301-27-5 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[(1-methylethyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 860301-28-6 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-(diethylamino)-2-pyrazinyl]- (CA INDEX NAME)

RN 860301-30-0 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[(2-methylphenyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

GΙ

Title compds. [I; 1 of X1-X4 = CZ, the others = CY; or 1 of X1-X4 = N, 1 = CZ, the others = CY; A = (substituted) pyridyl, pyrazinyl, pyrimidyl, triazinyl, pyridazinyl; Q = bond, halo, alkyl, O, S, SO, SO2, CO, CS; W = H, alkyl, aryl, heteroaryl, cycloalkyl, alkylaryl, alkylheteroaryl, cycloalkyl, (substituted) amino, etc.; Y = H, halo, cyano, NO2, CF3, OH, alkyl, aminoalkyl, alkoxyalkyl, alkylheteroaryl, alkylthio, etc.; Z = (CH2)nCOCR9:CHR10, (CH2)nNR8COC.tplbond.CR9, etc.; n = 0-4; R8 = H, alkyl; R9, R10 = H, alkyl, alkylamino, alkylheteroaryl, etc.; R9R10 = atoms to form a 5-8 membered ring], were prepared Thus, a mixture of 1-[6-(tert-butylamino)pyrazin-2-yl]-1H-benzimidazol-5-amine (preparation given), Et3N, EDAC.HCl, 4-(1-pyrrolidino)pyridine, and acrylic acid were stirred together for 3 days in CH2Cl2 to give

N-[1-[6-(tert-butylamino)pyrazin-2-yl]-1H-benzimidazol-5-yl]acrylamide. The latter gave $\geq 50\%$ inhibition of JAK3 at 20 μ M.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

10581412 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN T. 4 ACCESSION NUMBER: 2005:523444 CAPLUS 143:60004 DOCUMENT NUMBER: Preparation of pyrazine derivatives as kinase TITLE: inhibitors INVENTOR(S): Burns, Christopher John; Wilks, Andrew Frederick; Bu, Xianyong Cytopia Research Pty Ltd., Australia PATENT ASSIGNEE(S): PCT Int. Appl., 75 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: WO 2005054230 A1 000 PATENT NO. KIND DATE APPLICATION NO. ______ A1 20050616 WO 2004-AU1690 20041203 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004294355 A1 20050616 AU 2004-294355 20041203 A1 20050616 CA 2004-2545425 A1 20060816 EP 2004-801112 CA 2545425 20041203 EP 1689739 20041203 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS A GB 2423083 20060816 GB 2006-11894 20041203 GB 2423083 В 20070711

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CN 1878767
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A 20061211 KR 2006-710931
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      20060525
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PRIORITY APPLN. INFO.:
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                                                                                 A 20040420
                                                         AU 2004-902060
                                                         WO 2004-AU1690 W 20041203
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OTHER SOURCE(S): CASREACT 143:60004; MARPAT 143:60004

IT 629669-63-2P 629669-65-4P 629670-05-9P

853887-56-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyrazine derivs. as kinase inhibitors)

RN 629669-63-2 CAPLUS

CN 1H-Benzimidazol-5-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-65-4 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-05-9 CAPLUS

CN Methanone, (4-methyl-1-piperazinyl)[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 853887-56-6 CAPLUS
CN 1H-Benzimidazole-6-methanol, 1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

629669-29-0P 629669-36-9P 629669-40-5P ΙT 629669-50-7P 629669-54-1P 629669-60-9P 629669-72-3P 629669-73-4P 629669-95-0P 629670-08-2P 629670-17-3P 853887-50-0P 853887-51-1P 853887-52-2P 853887-53-3P 853887-54-4P 853887-55-5P 853887-57-7P 853887-58-8P 853887-59-9P 853887-60-2P 853887-61-3P 853887-62-4P 853887-63-5P 853887-64-6P 853887-65-7P 853887-66-8P 853887-67-9P 853887-68-0P 853887-69-1P 853887-70-4P 853887-71-5P 853887-72-6P 853887-78-2P 853887-79-3P 853887-80-6P 853887-81-7P 853887-82-8P 853887-83-9P 853887-84-0P 853887-85-1P 853887-86-2P 853887-87-3P 853887-88-4P 853887-89-5P 853887-90-8P 853887-91-9P 853887-92-0P

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     853888-47-8P 853888-48-9P 853888-49-0P
     853888-50-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of pyrazine derivs. as kinase inhibitors)
     629669-29-0 CAPLUS
CN
     2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1R)-1-phenylethyl]- (CA
     INDEX NAME)
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Absolute stereochemistry.

RN 629669-36-9 CAPLUS
CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-(phenylmethyl)- (CA INDEX NAME)

RN 629669-40-5 CAPLUS

CN 2-Pyrazinamine, 6-(1H-imidazo[4,5-b]pyridin-1-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-50-7 CAPLUS

CN Methanesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-54-1 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-60-9 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-72-3 CAPLUS

CN 2-Pyrazinamine, 6-[5-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

RN 629669-73-4 CAPLUS
CN Acetamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-95-0 CAPLUS
CN Benzenesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 629670-08-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[4-(4-morpholinyl)butyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-17-3 CAPLUS

CN 2-Pyrazinamine, 6-(3H-imidazo[4,5-b]pyridin-3-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

RN 853887-50-0 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-51-1 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-(3,4-dihydro-2(1H)-isoquinoliny1)-2-pyraziny1]- (CA INDEX NAME)

RN 853887-52-2 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-(3,4-dihydro-2(1H)-isoquinolinyl)-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-53-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-54-4 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-55-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-

pyrazinyl]- (CA INDEX NAME)

RN 853887-57-7 CAPLUS

CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-[6-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853887-58-8 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[6-[[1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ H_2N-C \\ \hline \\ N \\ NH \\ CH-Me \\ \end{array}$$

RN 853887-59-9 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[6-[[1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-60-2 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[6-[[(1S)-1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-61-3 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[6-[[(1S)-1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-62-4 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1R)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-63-5 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(3,4-difluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-64-6 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(2-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-65-7 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-66-8 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N-[4-(4-morpholinyl)butyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-67-9 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[1-(3-chlorophenyl)ethyl]- (CA INDEX NAME)

RN 853887-68-0 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[1-[4-(1H-imidazol-1-yl)phenyl]ethyl]- (CA INDEX NAME)

RN 853887-69-1 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-phenylpropyl]- (CA INDEX NAME)

RN 853887-70-4 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[1-(4-pyridinyl)ethyl]- (CA INDEX NAME)

RN 853887-71-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-methyl-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 853887-72-6 CAPLUS

CN Benzamide, 4-[(4-methyl-1-piperazinyl)methyl]-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-78-2 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-(1-phenylbutyl)- (CA INDEX NAME)

RN 853887-79-3 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-(3-methoxyphenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-80-6 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N,N-diethyl-1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{CH}_2 \qquad \qquad \mathsf{N} \qquad \mathsf{N} \\ \mathsf{NH} \\ \mathsf{CH}-\mathsf{Me} \\ \mathsf{F}$$

RN 853887-81-7 CAPLUS

CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-[6-(1-piperazinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853887-82-8 CAPLUS

CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-[5-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853887-83-9 CAPLUS

CN 1H-Benzimidazole-5-methanamine, N,N-diethyl-1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-84-0 CAPLUS

CN 1H-Benzimidazole-5-methanamine, 1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-N,N-dimethyl- (CA INDEX NAME)

RN 853887-85-1 CAPLUS

CN 1,2-Ethanediamine, N'-[[1-[6-[[1-(4-fluorophenyl)ethyl]amino]pyrazinyl]-1H-benzimidazol-5-yl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 853887-86-2 CAPLUS

CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-(3H-imidazo[4,5-c]pyridin-3-yl)- (CA INDEX NAME)

RN 853887-87-3 CAPLUS

CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-(1H-imidazo[4,5-c]pyridin-1-yl)- (CA INDEX NAME)

RN 853887-88-4 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[6-[[(1S)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-89-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[6-[[(1S)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-90-8 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(1-piperazinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-91-9 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(4-morpholinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853887-92-0 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(1-piperidinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-93-1 CAPLUS

CN 4-Piperidinol, 1-[[1-[6-[[(1S)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-94-2 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(1-pyrrolidinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853887-95-3 CAPLUS

CN 2-Pyrazinamine, 6-[6-[[4-(2-aminoethyl)-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]-N-[(1S)-1-(4-fluorophenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-96-4 CAPLUS

CN Ethanol, 2,2'-[[[1-[6-[[(1S)-1-(4-fluorophenyl)ethyl]amino]pyrazinyl]-1H-benzimidazol-6-yl]methyl]imino]bis- (9CI) (CA INDEX NAME)

RN 853887-97-5 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-2,3-dihydro-1H-inden-1-yl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-98-6 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-2,3-dihydro-1H-inden-1-yl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-99-7 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(1-naphthalenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-00-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(1-naphthalenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-02-5 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(2H-tetrazol-5-yl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853888-03-6 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[5-(2H-tetrazol-5-yl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-04-7 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-(4-fluorophenyl)ethyl]- (CA INDEX NAME)

RN 853888-05-8 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-06-9 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-07-0 CAPLUS

CN Urea, N-ethyl-N'-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-08-1 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3-pyridinyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-09-2 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3-pyridinyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-10-5 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-(1H-indazol-1-yl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-12-7 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3,4-difluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-13-8 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3,4-difluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-14-9 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-15-0 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(2-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-16-1 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3,5-difluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-17-2 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3,5-difluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-18-3 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-[3-(trifluoromethyl)phenyl]ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-19-4 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-[3-(trifluoromethyl)phenyl]ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-20-7 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3-chloro-4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-21-8 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3-chloro-4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-22-9 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-[3-(trifluoromethoxy)phenyl]ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-23-0 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-[3-(trifluoromethoxy)phenyl]ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-24-1 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(2,3-dihydro-1H-inden-2-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-25-2 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-1H-inden-2-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-26-3 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-phenylpropyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-27-4 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-1-phenylpropyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-28-5 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-(3H-imidazo[4,5-b]pyridin-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-29-6 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-(1H-imidazo[4,5-b]pyridin-1-yl)- (CA INDEX NAME)

RN 853888-30-9 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(4-methylphenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-31-0 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-1-(4-methylphenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-32-1 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[methyl](1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-33-2 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[methyl[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-34-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[5-methyl-6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-35-4 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[5-methyl-6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-37-6 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(2,3-dihydro-3-methyl-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-38-7 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-3-methyl-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-39-8 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(2,3-dihydro-4-methoxy-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-40-1 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-4-methoxy-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-41-2 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(2,3-dihydro-5-methoxy-1H-inden-1-methoxy-1-methoxy-1H-inden-1-methoxy-1-me

yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-42-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-5-methoxy-1H-inden-1-y1)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-43-4 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-6-hydroxy-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-44-5 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(5-fluoro-2,3-dihydro-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-45-6 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(5-fluoro-2,3-dihydro-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-46-7 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(5-bromo-2,3-dihydro-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-47-8 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(5-bromo-2,3-dihydro-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-48-9 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(3-fluorophenyl)ethyl]amino]-5-methyl-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-49-0 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(2-pyridinyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-50-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(2-pyridinyl)ethyl]amino]-2-[6-[[1-(2-pyridinyl)ethyl]amino]]

pyrazinyl]- (CA INDEX NAME)

IT 853888-56-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazine derivs. as kinase inhibitors)

RN 853888-56-9 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-, 6-methanesulfonate (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ N & & & & \\ N & & & & \\ N &$$

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted-aryl, -heteroaryl; W, X, Y and Z = (un)substituted carbon, or one of W, X, Y and Z is nitrogen and the rest (un)substituted carbon; Q = bond, CH2, alkyl; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, (un)substituted-alkyl, -alkenyl, etc.; R3 = 0-2 substituents

selected from H, alkyl, NR5R6; R4 independently = H, halo, alkyl, etc.; R5 and R6 independently = H, alkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as kinase inhibitors. Thus, e.g., II was prepared by coupling of (6-chloro-pyrazin-2yl)-(1-benzyl)-amine with benzimidazole. The activity of I was evaluated and it was revealed that selected compds. of the invention displayed an inhibition capacity of 50% or greater at a concentration of 20 μM . I as inhibitors of kinases should prove useful in the treatment of diseases such as, but not limited to, rheumatic, viral and cardiovascular diseases. Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 11 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
ACCESSION NUMBER: 2003:951019 CAPLUS
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                              Preparation of imidazolylpyrazines as protein kinase
TITLE:
                              inhibitors for treatment of receptor type tyrosine
                              kinase-related diseases
INVENTOR(S):
                              Wilks, Andrew Fredrick; Bu, Xianyong; Burns,
                              Christopher John
                              Cytopia Pty. Ltd., Australia
PATENT ASSIGNEE(S):
                              PCT Int. Appl., 106 pp.
SOURCE:
                              CODEN: PIXXD2
DOCUMENT TYPE:
                              Patent
LANGUAGE:
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FAMILY ACC. NUM. COUNT: 1
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                              MARPAT 140:16748
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      phenylethyl)pyrazin-2-amine 629669-31-4P,
      6-(1H-Benzimidazol-1-yl)-N-[(1S)-1-(4-methoxyphenyl)ethyl]pyrazin-2-amine
      629669-33-6P, 6-(1H-Benzimidazol-1-yl)-N-[(1S)-1-(4-yl)]
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bromophenyl)ethyl]pyrazin-2-amine 629669-35-8P,

1-[6-[((1S)-1-Phenylethyl]amino]pyrazin-2-yl]-1H-benzimidazole-6-

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carboxamide 629669-36-9P,
6-(1H-Benzimidazol-1-yl)-N-benzylpyrazin-2-amine 629669-37-0P,
1-[6-[[(1S)-1-Phenylethyl]amino]pyrazin-2-yl]-1H-benzimidazole-5-
carboxamide 629669-38-1P,
6-(1H-Benzimidazol-1-yl)-N-(4-fluorobenzyl)pyrazin-2-amine
629669-39-2P 629669-40-5P 629669-41-6P
629669-43-8P, N-[1-[6-[(1S)-1-Phenylethyl]amino]pyrazin-2-yl]-1H-
benzimidazol-6-yl]cyclopropanecarboxamide 629669-44-9P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
yl]nicotinamide 629669-45-0P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vl]cyclopropanecarboxamide 629669-46-1P,
6-[6-(4,5-Dihydro-1,3-oxazol-2-y1)-1H-benzimidazol-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]-N-[(1S)-1-y1]
phenylethyl]pyrazin-2-amine 629669-48-3P,
1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-N-(2-hydroxyethyl)-1H-
benzimidazole-6-carboxamide 629669-49-4P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
yl]methanesulfonamide 629669-50-7P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
yl]methanesulfonamide 629669-51-8P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vl]isonicotinamide 629669-53-0P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
yl]isonicotinamide 629669-54-1P,
6-(1H-Benzimidazol-1-yl)-N-((1S)-1-phenylethyl)pyrazin-2-amine
629669-55-2P, 6-[5-(4,5-Dihydro-1,3-oxazol-2-yl)-1H-benzimidazol-1-
y1]-N-((1S)-1-phenylethyl)pyrazin-2-amine 629669-56-3P,
1-[6-[((1S)-1-Phenylethyl)] amino|pyrazin-2-yl]-N-(2-hydroxyethyl)-1H-
benzimidazole-5-carboxamide 629669-57-4P,
6-(5-Methyl-1H-benzimidazol-1-yl)-N-((1S)-1-phenylethyl)pyrazin-2-amine
629669-58-5P, N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-
benzimidazol-6-yl]nicotinamide 629669-59-6P,
N-Methyl-1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazole-5-
carboxamide 629669-60-9P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-yl]-2,2-
dimethylpropanamide 629669-61-0P,
N-Methyl-1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazole-6-
carboxamide 629669-62-1P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-yl]-2,2-
dimethylpropanamide 629669-63-2P,
1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-amine
629669-64-3P, 2-Methoxy-N-[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-
2-yl]-1H-benzimidazol-5-yl]acetamide 629669-65-4P,
1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-amine
629669-66-5P, 2-Methoxy-N-[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-
2-y1]-1H-benzimidazol-6-y1]acetamide 629669-67-6P,
N-Benzyl-1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazole-5-
carboxamide 629669-68-7P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vl]pyrazine-2-carboxamide 629669-69-8P,
1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-N-phenyl-1H-benzimidazole-5-
carboxamide 629669-70-1P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
yl]pyrazine-2-carboxamide 629669-71-2P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
yl]acetamide 629669-72-3P,
6-[5-[(4-Methylpiperazin-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-1H-benzimidazol-1-yl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyl]-N-((1S)-1-yl)methyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllme
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phenylethyl)pyrazin-2-amine 629669-73-4P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vl]acetamide 629669-74-5P,
[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
yl]methanol 629669-75-6P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
vl]benzamide 629669-76-7P,
[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
yl]methanol 629669-77-8P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
yl]benzamide 629669-78-9P,
1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-N-[2-(dimethylamino)ethyl]-
1H-benzimidazole-5-carboxamide 629669-79-0P,
1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-N-(pyridin-3-ylmethyl)-1H-
benzimidazol-5-amine 629669-80-3P, tert-Butyl
(2S)-2-[[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-[[1-[6-[((1S)-1-[6-[((1S)-1-[6-[((1S)-1-[6-[((1S)-1-[6-[((1S)-1-[6-[((1S)-1-[6-[((1S)-1-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[6-[((1S)-[((1S)-[6-[((1S)-[((1S)-[((1S)-[6-[((1S)-[6-[((1S)-[((1S)-[((1S
yl]amino]carbonyl]pyrrolidine-1-carboxylate 629669-81-4P,
6-(3H-Imidazo[4,5-c]pyridin-3-y1)-N-[(1s)-1-phenylethyl]pyrazin-2-amine
629669-82-5P, 6-(1H-Benzimidazol-1-yl)-N-[1-(4-yl)]
fluorophenyl)ethyl]pyrazin-2-amine 629669-83-6P,
6-(1H-Imidazo[4,5-c]pyridin-1-yl)-N-[(1S)-1-phenylethyl]pyrazin-2-amine
629669-84-7P 629669-85-8P,
(2S)-N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
yl]pyrrolidine-2-carboxamide 629669-86-9P,
\overline{N}-((1S)-1-Phenylethyl)-6-(5-pyridin-4-yl-1H-benzimidazol-1-yl)pyrazin-2-
amine 629669-87-0P, N-((1S)-1-Phenylethyl)-6-(5-pyridin-3-yl-1H-
benzimidazol-1-yl)pyrazin-2-amine 629669-88-1P,
6-(5-Bromo-1H-benzimidazol-1-yl)-N-((1S)-1-phenylethyl)pyrazin-2-amine
phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazole-6-carboxamide
629669-90-5P 629669-91-6P 629669-92-7P
629669-93-8P, 6-(1H-Benzimidazol-1-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-pyridin-3-yl)-N-((1S)-1-p
ylethyl)pyrazin-2-amine 629669-94-9P,
6-(1H-Benzimidazol-1-yl)-N-[(1S)-1-(1,1'-biphenyl-4-yl)ethyl]pyrazin-2-
amine 629669-95-0P, N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-
yl]-1H-benzimidazol-5-yl]benzenesulfonamide 629670-01-5P
629670-03-7P 629670-04-8P 629670-05-9P
629670-06-0P 629670-07-1P 629670-08-2P
629670-09-3P 629670-10-6P 629670-11-7P
629670-12-8P 629670-13-9P 629670-14-0P
629670-17-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
        (drug candidate; preparation of imidazolylpyrazines as protein kinase
        inhibitors for treatment of receptor type tyrosine kinase-related
       diseases)
629669-29-0 CAPLUS
2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1R)-1-phenylethyl]- (CA
INDEX NAME)
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Absolute stereochemistry.

RN CN

RN 629669-31-4 CAPLUS CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-(4-methoxyphenyl)ethyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 629669-33-6 CAPLUS CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-y1)-N-[(1S)-1-(4-bromophenyl)ethyl]-(CA INDEX NAME)

RN 629669-35-8 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-36-9 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-(phenylmethyl)- (CA INDEX NAME)

RN 629669-37-0 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-38-1 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(4-fluorophenyl)methyl]- (CA INDEX NAME)

RN 629669-39-2 CAPLUS

CN Methanone, 4-morpholinyl[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 629669-40-5 CAPLUS

CN 2-Pyrazinamine, 6-(1H-imidazo[4,5-b]pyridin-1-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-41-6 CAPLUS

CN Methanone, 4-morpholinyl[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 629669-43-8 CAPLUS

CN Cyclopropanecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-44-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-45-0 CAPLUS

CN Cyclopropanecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 629669-46-1 CAPLUS

CN 2-Pyrazinamine, 6-[6-(4,5-dihydro-2-oxazolyl)-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-48-3 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N-(2-hydroxyethyl)-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-49-4 CAPLUS

CN Methanesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-50-7 CAPLUS

CN Methanesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-51-8 CAPLUS

CN 4-Pyridinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 629669-53-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]pyrazinyl]-1H-benzimidazol-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-54-1 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

RN 629669-55-2 CAPLUS

CN 2-Pyrazinamine, 6-[5-(4,5-dihydro-2-oxazolyl)-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-56-3 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-(2-hydroxyethyl)-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-57-4 CAPLUS

CN 2-Pyrazinamine, 6-(5-methyl-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-58-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 629669-59-6 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-methyl-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-60-9 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-61-0 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N-methyl-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-62-1 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- 1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-63-2 CAPLUS

CN 1H-Benzimidazol-5-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-64-3 CAPLUS

CN Acetamide, 2-methoxy-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-65-4 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-66-5 CAPLUS

CN Acetamide, 2-methoxy-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-67-6 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-N-(phenylmethyl)- (CA INDEX NAME)

RN 629669-68-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-69-8 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-phenyl-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-70-1 CAPLUS

CN 2-Pyrazinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-71-2 CAPLUS

CN Acetamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 629669-72-3 CAPLUS

CN 2-Pyrazinamine, 6-[5-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-73-4 CAPLUS

CN Acetamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-74-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-75-6 CAPLUS
CN Benzamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-76-7 CAPLUS
CN 1H-Benzimidazole-6-methanol, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl](CA INDEX NAME)

RN 629669-77-8 CAPLUS

CN Benzamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-78-9 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[2-(dimethylamino)ethyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-79-0 CAPLUS

CN 1H-Benzimidazol-5-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

RN 629669-80-3 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-81-4 CAPLUS

CN 2-Pyrazinamine, 6-(3H-imidazo[4,5-c]pyridin-3-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

RN 629669-82-5 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[1-(4-fluorophenyl)ethyl]- (CA INDEX NAME)

RN 629669-83-6 CAPLUS

CN 2-Pyrazinamine, 6-(1H-imidazo[4,5-c]pyridin-1-yl)-N-[(1S)-1-phenylethyl](CA INDEX NAME)

RN 629669-84-7 CAPLUS
CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-[4-(3-pyridinyl)phenyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-85-8 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]-, (2S)- (CA INDEX NAME)

RN 629669-86-9 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-phenylethyl]-6-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-87-0 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-phenylethyl]-6-[5-(3-pyridinyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 629669-88-1 CAPLUS
CN 2-Pyrazinamine, 6-(5-bromo-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl](CA INDEX NAME)

Absolute stereochemistry.

RN 629669-89-2 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N-[3-(1H-imidazol-1-yl)propyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-90-5 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N-[3-(4-morpholinyl)propyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-91-6 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[3-(4-morpholinyl)propyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-92-7 CAPLUS

CN 3-Piperidinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-93-8 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-(3-pyridinyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-94-9 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-[1,1'-biphenyl]-4-ylethyl]- (CA INDEX NAME)

RN 629669-95-0 CAPLUS

CN Benzenesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-01-5 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[4-(4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 629670-03-7 CAPLUS
CN 2-Pyrazinamine, 6-(2-methyl-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl](CA INDEX NAME)

Absolute stereochemistry.

RN 629670-04-8 CAPLUS

CN Methanone, (4-methyl-1-piperazinyl)[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 629670-05-9 CAPLUS

CN Methanone, (4-methyl-1-piperazinyl)[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-06-0 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[3-(1H-imidazol-1-yl)propyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629670-07-1 CAPLUS
CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1R)-1-(4-fluorophenyl)ethyl](CA INDEX NAME)

Absolute stereochemistry.

RN 629670-08-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[4-(4-morpholinyl)butyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629670-09-3 CAPLUS CN 2-Pyrazinamine, 6-[6-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-10-6 CAPLUS

CN 3-Piperidinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]-, (3R)- (CA INDEX NAME)

RN 629670-11-7 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1R)-1-[1,1'-biphenyl]-4-ylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-12-8 CAPLUS

CN 1,2-Ethanediamine, N1-[[1-[6-[[(1R)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]methyl]-N1,N2-dimethyl- (CA INDEX NAME)

RN 629670-13-9 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N,N-diethyl-1-[6-[[(1R)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-14-0 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[6-[[(1R)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-N-[4-(4-morpholinyl)butyl]- (CA INDEX NAME)

$$(CH_2)_4$$
 $(CH_2)_4$
 $(CH_2)_4$

RN 629670-17-3 CAPLUS

CN 2-Pyrazinamine, 6-(3H-imidazo[4,5-b]pyridin-3-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AB Title compds. I [D = (un)subsituted heterocyclic ring, e.g., benzimidazole, indazole, imidazole; R1 = H, alkyl, cycloalkyl; Q = bond CH2, alkyl; A = (un)substituted aryl, hetaryl (sic); W = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of chloropyrazine II, e.g., prepared from 4-fluorobenzylamine and 2,6-dichloropyrazine, and imidazole afforded claimed imidazolylpyrazine III in 65% yield. In inhibition studies of the Tel-Jak3 cell line, 23-examples of compds. I exhibited a capacity to inhibit 50% of cell growth at a concentration of 50 μ M. Compds. I are useful for the treatment of receptor type tyrosine kinase-related diseases. REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced
NEWS 16 FEB 19 New patent-examiner citations in 300,000 CA/CAplus
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                 art
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        FEB 19
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                 and 2009 MeSH terms
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                 TOXCENTER updates mirror those of MEDLINE - more
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                 for nanomaterial substances
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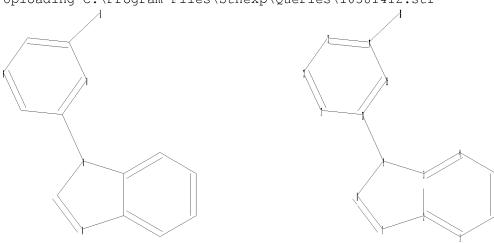
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=>

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ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
ring/chain nodes :
18
chain bonds :
9-10 14-18
ring bonds :
1-2^{-1} 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14 - 15
exact/norm bonds :
2-7 3-9 7-8 8-9 9-10
exact bonds :
14 - 18
normalized bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15
isolated ring systems :
containing 1 : 10 :
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10581412

G1:C, N

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

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G1 C, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 14:09:32 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 183 TO ITERATE

19 ANSWERS 100.0% PROCESSED 183 ITERATIONS

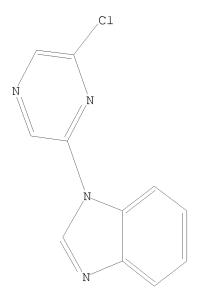
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2849 TO PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

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DELETE L1-L2? (Y)/N:y
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ring nodes :
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ring/chain nodes :
18
chain bonds :
9-10 14-18
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 2-7 \quad 3-4 \quad 3-9 \quad 4-5 \quad 5-6 \quad 7-8 \quad 8-9 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14
14 - 15
exact/norm bonds :
2-7 3-9 7-8 8-9 9-10
exact bonds :
14 - 18
normalized bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15
isolated ring systems :
containing 1 : 10 :
G1:C,N
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom
L1
        STRUCTURE UPLOADED
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L1 HAS NO ANSWERS
L1
                  STR
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G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 14:10:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO

PROJECTED ITERATIONS: 0 TO 0 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 ful

FULL SEARCH INITIATED 14:10:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 54 TO ITERATE

100.0% PROCESSED 54 ITERATIONS 10 ANSWERS

SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

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FULL ESTIMATED COST

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=> s 13 L4 3 L3

 \Rightarrow d 14 ibib hitstr abs 1-3

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN T.4 ACCESSION NUMBER: 2005:638866 CAPLUS 143:153403 DOCUMENT NUMBER: Preparation of benzimidazolylazines and related TITLE: compounds as selective JAK3 kinase inhibitors INVENTOR(S): Styles, Michelle Leanne; Zeng, Jun; Treutlein, Herbert Rudolf; Wilks, Andrew Frederick; Kling, Marcel Robert; Bu, Xianyong; Burns, Christopher John PATENT ASSIGNEE(S): Cytopia Research Pty. Ltd., Australia PCT Int. Appl., 85 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. _____ _____ ____ ______ A1 20050721 WO 2005-AU22 WO 2005066156 20050112 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2005203919 20050721 AU 2005-203919 20050112 A 1 CA 2545427 20050721 CA 2005-2545427 Α1 20050112 EP 2005-700054 EP 1704145 Α1 20060927 20050112 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS GB 2424882 A 20061011 GB 2006-12225 20050112 GB 2424882 В 20080806 CN 1906190 20070131 CN 2005-80001563 20050112 Α BR 2005006817 Α 20070529 BR 2005-6817 20050112 20070606 GB 2007-4098 20070705 JP 2006-548036 GB 2432834 Α 20050112 JP 2007517807 IN 2006KN00845 1 20070705 A 20070413 A 20061211 A 20070417 A1 20080820 JP 2006-548036 20050112 IN 2006-KN845 20060406 KR 2006126983 KR 2006-711057 20060605 MX 2006007640 MX 2006-7640 20060630 US 20080207613 US 2006-585916 20060711 PRIORITY APPLN. INFO.: A 20040112 AU 2004-900103

WO 2005-AU22 OTHER SOURCE(S): CASREACT 143:153403; MARPAT 143:153403

ΤT 860300-93-2P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(claimed compound; preparation of benzimidazolylazines and related compds.

GB 2006-12225

A3 20050112

W 20050112

as

selective JAK3 kinase inhibitors)

RN 860300-93-2 CAPLUS CN 2-Propenamide, N-[1-(6-chloro-2-pyrazinyl)-1H-benzimidazol-6-yl]- (CA INDEX NAME)

IT 860301-24-2P 860301-25-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazolylazines and related compds. as selective ${\tt JAK3}$ kinase inhibitors)

RN 860301-24-2 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-(6-chloro-2-pyraziny1)- (CA INDEX NAME)

RN 860301-25-3 CAPLUS

CN 1H-Benzimidazol-5-amine, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

GI

Title compds. [I; 1 of X1-X4 = CZ, the others = CY; or 1 of X1-X4 = N, 1 = AΒ CZ, the others = CY; A = (substituted) pyridyl, pyrazinyl, pyrimidyl, triazinyl, pyridazinyl; Q = bond, halo, alkyl, O, S, SO, SO2, CO, CS; W = H, alkyl, aryl, heteroaryl, cycloalkyl, alkylaryl, alkylheteroaryl, cycloalkyl, (substituted) amino, etc.; Y = H, halo, cyano, NO2, CF3, OH, alkyl, aminoalkyl, alkoxyalkyl, alkylheteroaryl, alkylthio, etc.; Z = (CH2) nCOCR9: CHR10, (CH2) nNR8COC.tplbond.CR9, etc.; n = 0-4; R8 = H, alkyl; R9, R10 = H, alkyl, alkylamino, alkylheteroaryl, etc.; R9R10 = atoms to form a 5-8 membered ring], were prepared Thus, a mixture of 1-[6-(tert-butylamino)pyrazin-2-yl]-1H-benzimidazol-5-amine (preparation given), Et3N, EDAC.HCl, 4-(1-pyrrolidino)pyridine, and acrylic acid were stirred together for 3 days in CH2Cl2 to give N-[1-[6-(tert-butylamino)pyrazin-2-y1]-1H-benzimidazol-5-y1] acrylamide. The latter gave $\geq 50\%$ inhibition of JAK3 at 20 μM . REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN T. 4 ACCESSION NUMBER: 2005:523444 CAPLUS 143:60004 DOCUMENT NUMBER: Preparation of pyrazine derivatives as kinase TITLE: inhibitors INVENTOR(S): Burns, Christopher John; Wilks, Andrew Frederick; Bu, Xianyong Cytopia Research Pty Ltd., Australia PATENT ASSIGNEE(S): PCT Int. Appl., 75 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: KIND DATE APPLICATION NO. PATENT NO. W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004294355 A1 20050616 AU 2004-294355 20041203 CA 2545425 20050616 CA 2004-2545425 20060816 EP 2004-801112 A1 20041203 EP 1689739 A1 20041203 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS GB 2423083 A 20060816 GB 2006-11894 20041203 GB 2423083 В 20070711 CN 1878767 20061213 CN 2004-80033482 20041203 A CN 1870707 BR 2004017345 A 20061213 CN 2004-80033482
A 20070313 BR 2004-17345
T 20070524 JP 2006-541751
A 20070727 IN 2006-KN616
A 20060907 MX 2006-5983
A1 20070503 US 2006-581412
A 20061211 KR 2006-710931 JP 2007513094 20041203 IN 2006KN00616 20060316 MX 2006005983 20060525 US 20070099935 20060601 KR 2006126981 20060602 A 20031203 PRIORITY APPLN. INFO.: AU 2003-906686

OTHER SOURCE(S): CASREACT 143:60004; MARPAT 143:60004

IT 853888-36-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

AU 2004-902060

WO 2004-AU1690 W 20041203

A 20040420

(preparation of pyrazine derivs. as kinase inhibitors)

RN 853888-36-5 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

10581412

IT 853888-52-5P 853888-53-6P 853888-54-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazine derivs. as kinase inhibitors)

RN 853888-52-5 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

$$H_2N-C$$
 N
 N
 N
 N
 N
 N
 N

RN 853888-53-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

RN 853888-54-7 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [A = (un)substituted-aryl, -heteroaryl; W, X, Y and Z = (un)substituted carbon, or one of W, X, Y and Z is nitrogen and the rest (un)substituted carbon; Q = bond, CH2, alkyl; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, (un)substituted-alkyl, -alkenyl, etc.; R3 = 0-2 substituents selected from H, alkyl, NR5R6; R4 independently = H, halo, alkyl, etc.; R5 and R6 independently = H, alkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as kinase inhibitors. Thus, e.g., II was prepared by coupling of (6-chloro-pyrazin-2yl)-(1-benzyl)-amine with benzimidazole. The activity of I was evaluated and it was revealed that selected compds. of the invention displayed an inhibition capacity of 50% or greater at a concentration of 20 μ M. I as inhibitors of kinases should prove useful in the treatment of diseases such as, but not limited to, rheumatic, viral and cardiovascular diseases. Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10581412

T. 4

2004:41279 CAPLUS ACCESSION NUMBER: 140:94066 DOCUMENT NUMBER: Preparation of 2,6-disubstituted pyrazines that TITLE: inhibit/modulate cyclin dependent kinases INVENTOR(S): Woolford, Alison Jo-Anne; Berdini, Valerio; Oreilly, Marc; Padova, Alessandro; Saxty, Gordon; Wyatt, Paul PATENT ASSIGNEE(S): Astex Technology Limited, UK SOURCE: PCT Int. Appl., 63 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE ____ _____ _____ WO 2003-GB2905 WO 2004004730 A2 20040115 20030704 20040429 WO 2004004730 АЗ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003-242860 20030704 AU 2003242860 A1 20040123 PRIORITY APPLN. INFO.: GB 2002-15775 A 20020706 WO 2003-GB2905 W 20030704 OTHER SOURCE(S): MARPAT 140:94066 380639-51-0P, 1-(6-Chloropyrazin-2-yl)-1H-benzimidazole 642459-08-3P, 1-(6-Chloropyrazin-2-yl)-1,3-dihydrobenzimidazol-2-RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2,6-disubstituted pyrazines that inhibit/modulate cyclin dependent kinases) 380639-51-0 CAPLUS RN 1H-Benzimidazole, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME) CN

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

RN 642459-08-3 CAPLUS CN 2H-Benzimidazol-2-one, 1-(6-chloro-2-pyrazinyl)-1, 3-dihydro- (CA INDEX

NAME)

GΙ

AB Title compds. I [R1 = H, cycloalkyl, cycloalkenyl, phenyl-alkyl, etc.; R2 = (hetero)aryl, cycloalkyl, cycloalkenyl, etc.; R3 = halo, CN, N-linked monocyclic N-containing heterocycle, etc.] are prepared For instance, 2,6-dichloropyrazine is reacted with cyclopentylamine (THF, Et3N, 50°, 1 day) to give 2-chloro-6-(cyclopentylamino)pyrazine (II). II has IC50 = 52 μ M for CDK2 kinase. I are useful in the prophylaxis or treatment of a diseases mediated by a cyclin dependent kinase. REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT